

1. A compound represented by Formula:



5

10

15

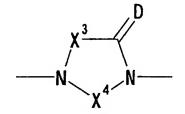
 $R \xrightarrow{0 \ge S} S \xrightarrow{N} X \xrightarrow{1 \mid 1} N \xrightarrow{N} A \xrightarrow{Z} Z$

wherein R is an optionally substituted hydrocarbon group or an optionall γ substituted heterocyclic group, each of R^1 and R^2 is a hydrogen atom or an optionally substituted hydrocarbon group, or R1 and R2 or a substituent on X^1 and R^2 are bound to each other to form an optionally substituted λ ing, each of X^1 and X^2 is a bond, an optionally substituted alkylene group or an optionally substituted imino group, D is an oxygen atom or a sulfur atom, A is $-N(R^3)-Y-\sqrt{\text{or }-N=Y-}$, R^3 is a hydrogen atom, an optionally substituted hydrocarbon group or an acyl group, Y is an optionally substituted linear hydrocarbon group or an optionally substituted cyclic group, Z is (1) an optionally substituted amino group, (2) an optionally substituted imidoyl group or (3) an optionally substituted nitrogen-containing heterocyclic group or a salt thereof.

- 20 2. The prodrug of a compound according to claim 1 or a salt thereof.
 - 3. The compound according to claim 1 whereih R is an

optionally substituted hydrocarbon group.

- 4. The compound according to claim 1 wherein R is an optionally substituted heterocyclic group.
- 5. The compound according to claim 1 wherein R is a halogen atom or an aryl group optionally substituted by a C_{2-4} alkenyl.
- 6. The compound according to claim 1 wherein R is a naphthyl group optionally substituted by a halogen atom.
- 7. The compound according to claim 1 wherein R is a benzopyranyl group optionally substituted by a halogen atom.
- 8. The compound according to claim 1 wherein R^1 and R^2 are bound to each other and taken together with $-N-X^1-$ CD-N- to form a group represented by Formula:



wherein X^3 is an optionally substituted C_{1-2} alkylene, X^4 is an optionally substituted C_{1-3} alkylene and D is an oxygen atom or a sulfur atom.

9. The compound according to claim 1 wherein R^1 and R^2 20 are bound to each other and taken together with $-N-X^1-$ CD-N- to form a group represented by Formula:

15

10

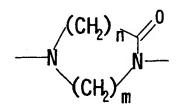
wherein n is 1 or 2, m" is 1 or 2, R⁸ is a hydrogen atom, an optionally substituted hydroxyl group, an optionally substituted mercapto group, a nitro group, a cyano group, an optionally substituted amino group, an optionally substituted lower alkyl group, an optionally substituted lower alkowy group, an optionally esterified carboxyl group, an optionally substituted carbamoyl group, an optionally substituted thiocarbamoyl group or an optionally substituted sulfamoyl group, and D is an oxygen atom or a sulfur atom.

10

15

...

10. The compound according to claim 1 wherein R^1 and R^2 are bound to each other and taken together with $-N-X^1-$ CD-N- to form a group represented by Formula:



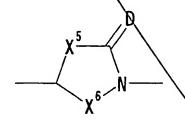
wherein n is 1 or 2 and m is 2 or 3.

11. The compound according to claim 10 wherein n+1 and

10

m=2

12. The compound according to claim 1 wherein a substituent on X^1 and R^2 are bound to each other and a divalent group represented by $-X^1-CD-N(R^2)$ is a group represented by Formula:



wherein X^5 is a bond or an optionally substituted methylene, X^6 is an optionally substituted C_{2-3} alkylene and D is an oxygen atom or a sulfur atom.

13. The compound according to claim 1 wherein a substituent on X^1 and R^2 are bound to each other and a divalent group represented by $-X^1$ -CD-N(R^2) - is a group represented by Formula:

- wherein n' is 0 or 1 and m' is 2 or 3.
 - 14. The compound according to claim 13 wherein n'=0 and m'=2.
 - 15. The compound according to claim 1 wherein each of R^1 and R^2 is a hydrogen atom or an optionally



substituted lower alkyl.

Bost

5

10

- 16. The compound according to claim 1 wherein an optionally substituted imino group is a group represented by Formula $-N(R^4)$ wherein R^4 is a hydrogen atom, an optionally substituted hydrocarbon group or an acyl group.
- 17. The compound according to claim 1 wherein X^1 is methylene.
- 18. The compound according to claim 1 wherein X^2 is a bond.
- 19. The compound according to claim 1 wherein R³ is a hydrogen atom, an optionally substituted lower alkyl group, formyl or an optionally substituted lower alkanoyl group.
- 15 20. The compound according to claim 1 wherein \mathbb{R}^3 is a hydrogen atom or an optionally substituted lower alkyl group.
 - 21. The compound according to claim 1 wherein Y is an optionally substituted cyclic hydrocarbon group.
- 20 22. The compound according to claim 1 wherein A is $N(R^3)$ -Y- and Y is an optionally substituted phenylene.
 - 23. The compound according to claim 1 wherein Y is an optionally substituted heterocyclic group.
- 24. The compound according to claim 1 wherein Y is an optionally substituted piperidine residue.







- 25. The compound according to claim 1 wherein Z is an optionally substituted nitrogen-containing heterocyclic group.
- 26. The compound according to claim 1 wherein D is an oxygen atom.
- 27. A compound selected from the group consisting of 4-(7-chloro-2H-benzopyran-3-sulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylamino]-2-piperazinone, 4-(6-chloronaphthalene-2-sulfonyl)-1-[1-(4-chloronaphthalene-2-sulfonyl)-1-[1-(4-chloronaphthalene-2-sulfonyl)]
- pyridyl)piperidin-4-ylamino]-2-piperazinone, 4-(6-bromonaphthalene-2-sulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylamino]-2-piperazinone, 4-(7-bromo-2H-benzopyran-3-sulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylamino]-2-piperazinone, 4-(6-chloronaphthalene-2-sulfonyl)-1-
- 15 {methyl[1-(4-pyridyl)piperidin-4-yl]amino}-2piperazinone, 4-(6-bromonaphthalene-2-sulfonyl)-1{methyl[1-(4-pyridyl)piperidin-4-yl]amino}-2piperazinone, 4-(7-bromo-2H-benzopyran-3-sulfonyl)-1{methyl[1-(4-pyridyl)piperidin-4-yl]amino}-2-
- piperazinone, 4-(6-chloronaphthalene-2-sulfonyl)-1{ethyl[1-(4-pyridyl)piperidin-4-yl]amino}-2piperazinone, 4-(6-chloronaphthalene-2-sulfonyl)-1{methyl[1-(2-methyl-4-pyridyl)piperidin-4-yl]amino}-2piperazinone, {[4-(6-chloronaphthalene-2-sulfonyl)-2-
- oxo-1-piperazinyl] [1-(2-methyl-4-pyridyl)-4-

Boot

5

piperidinyl)amino}acetic acid, 4-(6-chloronaphthalene-2-sulfonyl)-1-{[1-(4-pyridyl)-4-piperidinyl]amino}-6-oxo-2-piperazinecarboxylic acid, 4-(6-chloronaphthalene-2-sulfonyl)-1-{methyl[1-(4-pyridyl)-4-piperidinyl]amino}-6-oxo-2-piperazinecarboxylic acid, 4-(6-chloronaphthalene-2-sulfonyl)-1-{methyl[1-(4-pyridyl)-4-piperidinyl]amino}-6-oxo-2-piperazinecarboxamide, 4-(6-chloronaphthalene-2-sulfonyl)-1-{methyl[1-(2-methyl-4-pyridyl)-4-piperidinyl]amino}-6-oxo-2-piperazinecarboxamide, 4-(6-chloronaphthalene-2-sulfonyl)-1-{methyl[1-(2-methyl-4-pyridyl)-4-piperidinyl]amino}-6-oxo-2-piperazinecarboxamide, 4-(6-chloronaphthalene-2-sulfonyl)-6-hydroxymethyl-1-

piperidinyl]amino}-6-oxo-2-piperazinecarboxamide, 4-(6-chloronaphthalene-2-sulfonyl)-6-hydroxymethyl-1{methyl[1-(4-pyridyl)-4-piperidinyl]amino}-2piperazinone, 6-aminomethyl-4-(6-chloronaphthalene-2-sulfonyl)-1-{methyl[1-(4-pyridyl)-4-piperidinyl]amino}-

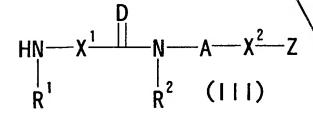
- 2-piperazinone, 6-acetylaminomethyl-4-(6-chloronaphthalene-2-sulfonyl)-1-{methyl[1-(4-pyridyl)-4-piperidinyl]amino}-2-piperazinone, 4-(6-chloronaphthalene-2-sulfonyl)-1-{[1-(4-pyridyl)-4-piperidinyl]amino}-6-oxo-2-piperazineacetic acid and 4-
- 20 (6-chloronaphthalene-2-sulfonyl)-1-{[1-(2-methyl-4-pyridyl)-4-piperidinyl]amino}-6-oxo-2-piperazineacetic acid as well as a salt thereof.
 - 28. The prodrug of a compound according to claim 27 or a salt thereof.
- 25 29. A pharmaceutical composition comprising a compound

2.

according to claim 1 or a salt thereof.

- 30. The composition according to claim 29 which is an anticoagulant.
- 31. The composition according to claim 29 which is an activated coagulation factor X inhibitor.
- 32. The composition according to claim 29 which is a prophylactic and therapeutic agent for cardiac infarction, cerebral thrombosis or deep vein thrombosis.
- 33. A method for producing a compound according to claim 1 or a salt thereof comprising:

reacting a compound represented by Formula (II) RSO_2Q wherein Q is a leaving group and other symbols are defined as described in Claim 1 or a salt thereof with a compound represented by Formula (III):



15

10

wherein the symbols are defined as described in Claim 1 or a salt thereof; or,

reacting a compound represented by Formula (IV):

The second secon

5

$$R = S = \begin{bmatrix} 0 & 0 & 0 \\ 0 & 0 & 0 \end{bmatrix}$$

$$R^{1} \qquad (IV)$$

wherein Q^1 is a leaving group and other symbols are defined as described in Claim 1 or a salt thereof with a compound represented by Formula (V):

wherein the symbols are defined as described in Claim 1 or a salt thereof; or,

reacting a compound represented by Formula (VI):

$$R \xrightarrow{0 \ge S} S \xrightarrow{D} N \xrightarrow{X^{\frac{1}{1}}} N \xrightarrow{N} N \xrightarrow{N} N \xrightarrow{N}$$

wherein the symbols are defined as described in Claim 1 or a salt thereof with a compound represented by Formula (VII):

$$A^{1}$$
 X^{2} Z (VII)

wherein A^1 is Q^1-Y- or O=Y-, Q^1 is a leaving group and

50 m

10

other symbols are defined as described in Claim 1 or a salt thereof; or,

reacting a compound represented by Formula (VIII):

$$R \xrightarrow{0 \ge S} S \xrightarrow{N} X \xrightarrow{1} \xrightarrow{D} N \xrightarrow{N} A \xrightarrow{X^2 Q^3}$$

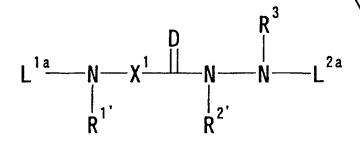
$$R \xrightarrow{N} R^2 \qquad (VIII)$$

wherein Q^3 is a hydrogen atom or a leaving group and other symbols are defined as described in Claim 1 or a salt thereof with a compound represented by Formula (IX):

$$Q^4$$
 (IX)

wherein Q^4 is a hydrogen atom or a leaving group and other symbols are defined as described in Claim 1 or a salt thereof.

34. A compound represented by Formula:



wherein each of L^{1a} and L^{2a} is a hydrogen atom or an amino-protecting group, $R^{1'}$ and $R^{2'}$ are bound to each other to form an optionally substituted ring, or $R^{1'}$ is

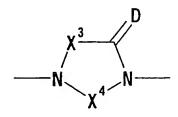
),i

10

15

a hydrogen atom or an optionally substituted hydrocarbon group and a substituent of X^1 and R^2 are bound to each other to form an optionally substituted ring, and other symbols are defined as described in Claim 1 or a salt thereof.

35. The compound according to claim 34 wherein $R^{1'}$ and $R^{2'}$ are bound to each other and taken together with $-N-X^{1}-CD-N-$ to form a group represented by Formula:



wherein X^3 is an optionally substituted C_{1-2} alkylene, X^4 is an optionally substituted C_{1-3} alkylene and D is an oxygen atom or a sulfur atom.

36. The compound according to claim 34 wherein R^1 and R^2 are bound to each other and taken together with $-N-X^1-CD-N-$ to form a group represented by Formula:

wherein n is 1 or 2, m'' is 1 or 2, R^8 is a hydrogen atom,





Bloom

an optionally substituted hydroxyl group, an optionally substituted mercapto group, a nitro group, a cyano group, an optionally substituted amino group, an optionally substituted lower alkyl group, an optionally substituted lower alkoxy group, an optionally esterified carboxyl group, an optionally substituted carbamoyl group, an optionally substituted thiocarbamoyl group or an optionally substituted sulfamoyl group, and D is an oxygen atom or a sulfur atom.

37. The compound according to claim 34 wherein $R^{1'}$ and $R^{2'}$ are bound to each other and taken together with $-N-X^{1}-CD-N-$ to form a group represented by Formula:

wherein n is 1 or 2 and m is 2 or 3.

- 38. The compound according to claim 37 wherein n=1 and m=2.
- 39. The compound according to claim 34 wherein a substituent on X^1 and R^2 are bound to each other and a divalent group represented by $-X^1-CD-N(R^2)$ is a group represented by Formula:

X5____N___

wherein X^5 is a bond or an optionally substituted methylene, X^6 is an optionally substituted C_{2-3} alkylene and D is an oxygen atom or a sulfur atom.

40. The compound according to claim 34 wherein a substituent on X^1 and R^2 are bound to each other and a divalent group represented by $-X^1-CD-N(R^2)$ is a group represented by Formula:

wherein n' is 0 or 1 and m' is 2 or 3.

- 41. The compound according to claim 40 wherein n'=0 and m'=2.
- 42. An enzyme inhibiting agent or a receptor modulating agent containing a compound comprising as

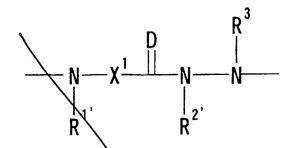
 15 its moiety a divalent group represented by Formula:

15

20







wherein $R^{1'}$ and $R^{2'}$ are bound to each other to form an optionally substituted ring, or $R^{1'}$ is a hydrogen atom or an optionally substituted hydrocarbon group and a substituent of X^1 and $R^{2'}$ are bound to each other to form an optionally substituted ring, and other symbols are defined as described in Claim 1 or a salt thereof.

- 43. The agent according to claim 42 which is an activated coagulation factor X inhibitor.
- 44. The agent according to claim 42 which is a prophylactic and therapeutic agent for cardiac infarction, cerebral thrombosis or deep vein thrombosis.
- 45. A method for inhibiting a blood coagulation in mammals comprising administering an effective amount of a compound according to claim 1 or a salt thereof to said mammals.
- 46. A method for inhibiting an activated coagulation factor X in mammals comprising administering an effective amount of a compound according to claim 1 or a salt thereof to said mammals.
- 47. A method for preventing and treating cardiac

infarction, cerebral thrombosis or deep vein thrombosis in mammals comprising administering an effective amount of a compound according to claim 1 or a salt thereof to said mammals.

- 5 48. The use of a compound according to claim 1 or a salt thereof for producing a pharmaceutical for inhibiting a blood opagulation.
 - 49. The use of a compound according to claim 1 or a salt thereof for producing a pharmaceutical for inhibiting an activated coagulation factor X.
 - 50. The use of a compound according to claim 1 or a salt thereof for producing a pharmaceutical for preventing and treating cardiac infarction, cerebral thrombosis or deep vein thrombosis.

10

The state of the s